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FILE LAST UPDATED: 3 Sep 2006 (20060903/ED)

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=> s wo 0017158/pn
L1            0 WO 0017158/PN
                  (WO17158/PN)

=> s wo 20017158/pn
L2            0 WO 20017158/PN
                  (WO20017158/PN)

=> s wo 200017158/pn
L3            1 WO 200017158/PN
                  (WO2000017158/PN)

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L3   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2000:210111  CAPLUS
DOCUMENT NUMBER:          132:222873
ENTRY DATE:               Entered STN:  31 Mar 2000
TITLE:                    Preparation of 3-amidinophenylalanine peptides for use
                          as urokinase inhibitors
INVENTOR(S):              Wikstrom, Peter; Vieweg, Helmut
PATENT ASSIGNEE(S):       Pentapharm A.-G., Switz.
SOURCE:                   PCT Int. Appl., 30 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 German
INT. PATENT CLASSIF.:
            MAIN:         C07C311-19
       SECONDARY:         C07D295-20; C07D211-60; C07D211-62; C07D211-16;
                          C07D409-12; C07D295-18; A61K031-445; A61K031-50
CLASSIFICATION:           34-3 (Amino Acids, Peptides, and Proteins)
                          Section cross-reference(s): 1
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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     WO 2000017158         A1    20000330    WO 1998-CH402           19980918 <--
         W:  AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
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KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9890597	A1	20000410	AU 1998-90597		19980918
EP 1114024	A1	20010711	EP 1998-942443		19980918
EP 1114024	B1	20021127			
R: DE, ES, FR, GB, IT					
ES 2188003	T3	20030616	ES 1998-942443		19980918
PRIORITY APPLN. INFO.:			EP 1998-942443	A	19980918
			WO 1998-CH402	A	19980918

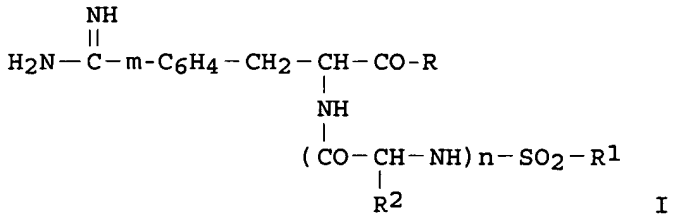
PATENT CLASSIFICATION CODES:

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2000017158	ICM	C07C311-19
	ICS	C07D295-20; C07D211-60; C07D211-62; C07D211-16; C07D409-12; C07D295-18; A61K031-445; A61K031-50
	IPCI	C07C0311-19 [ICM,6]; C07C0311-00 [ICM,6,C*]; C07D0295-20 [ICS,6]; C07D0211-60 [ICS,6]; C07D0211-62 [ICS,6]; C07D0211-16 [ICS,6]; C07D0211-00 [ICS,6,C*]; C07D0409-12 [ICS,6]; C07D0409-00 [ICS,6,C*]; C07D0295-18 [ICS,6]; C07D0295-00 [ICS,6,C*]; A61K0031-445 [ICS,6]; A61K0031-50 [ICS,6]
	IPCR	C07C0311-00 [I,C*]; C07C0311-19 [I,A]; C07D0211-00 [I,C*]; C07D0211-16 [I,A]; C07D0211-60 [I,A]; C07D0211-62 [I,A]; C07D0295-00 [I,C*]; C07D0295-185 [I,A]; C07D0295-205 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]
	ECLA	C07C311/19; C07D211/16; C07D211/60; C07D211/62; C07D295/18B1G; C07D295/20B1; C07D409/12+333B+211
AU 9890597	IPCI	C07C0311-19 [ICM,6]; C07C0311-00 [ICM,6,C*]; C07D0295-20 [ICS,6]; C07D0211-60 [ICS,6]; C07D0211-62 [ICS,6]; C07D0211-16 [ICS,6]; C07D0211-00 [ICS,6,C*]; C07D0409-12 [ICS,6]; C07D0409-00 [ICS,6,C*]; C07D0295-18 [ICS,6]; C07D0295-00 [ICS,6,C*]; A61K0031-445 [ICS,6]; A61K0031-50 [ICS,6]
	IPCR	C07C0311-00 [I,C*]; C07C0311-19 [I,A]; C07D0211-00 [I,C*]; C07D0211-16 [I,A]; C07D0211-60 [I,A]; C07D0211-62 [I,A]; C07D0295-00 [I,C*]; C07D0295-185 [I,A]; C07D0295-205 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]
EP 1114024	IPCI	C07C0311-19 [ICM,6]; C07C0311-00 [ICM,6,C*]; C07D0295-20 [ICS,6]; C07D0211-60 [ICS,6]; C07D0211-62 [ICS,6]; C07D0211-16 [ICS,6]; C07D0211-00 [ICS,6,C*]; C07D0409-12 [ICS,6]; C07D0409-00 [ICS,6,C*]; C07D0295-18 [ICS,6]; C07D0295-00 [ICS,6,C*]; A61K0031-445 [ICS,6]; A61K0031-50 [ICS,6]
	IPCR	C07C0311-00 [I,C*]; C07C0311-19 [I,A]; C07D0211-00 [I,C*]; C07D0211-16 [I,A]; C07D0211-60 [I,A]; C07D0211-62 [I,A]; C07D0295-00 [I,C*]; C07D0295-185 [I,A]; C07D0295-205 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]
ES 2188003	IPCI	C07C0311-19 [ICM,4]; C07C0311-00 [ICM,4,C*]; C07D0295-20 [ICS,4]; C07D0211-60 [ICS,4]; C07D0211-62 [ICS,4]; C07D0211-16 [ICS,4]; C07D0211-00 [ICS,4,C*]; C07D0409-12 [ICS,4]; C07D0409-00 [ICS,4,C*]; C07D0295-18 [ICS,4]; C07D0295-00 [ICS,4,C*]; A61K0031-445 [ICS,4]; A61K0031-50 [ICS,4]
	IPCR	A61K0031-445 [I,A]; A61K0031-445 [I,C*]; A61K0031-50 [I,A]; A61K0031-50 [I,C*]; C07C0311-00 [I,C*]; C07C0311-19 [I,A]; C07D0211-00 [I,C*]; C07D0211-16 [I,A]; C07D0211-60 [I,A]; C07D0211-62 [I,A];

C07D0295-00 [I,C*]; C07D0295-18 [I,A]; C07D0295-20 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]

OTHER SOURCE(S): MARPAT 132:222873

GRAPHIC IMAGE:



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ABSTRACT:

Title compds. [(I); R = OH, O-(cyclo)alkyl, O-arylalkyl, PhCH2, Ph(CH2)2, substituted pyrrolidine, piperidine, piperazine, NR3R4; R3, R4 = (independently) H, (un)branched alkyl, (un)substituted aralkyl, PhCH2, Ph(CH2)2, cycloalkyl-alkyl; R3 = H, R4 = NHR5; R5 = (hetero)aryl; R1 = (un)branched alkyl, (un)substituted (hetero)aryl; R2 = H, (un)branched alkyl; n = 0-1] as L-,D-, or DL forms, were prepared for use as urokinase inhibitors for the treatment of tumors or in diagnosis. Thus, (L)-3-cyanophenylalanine Me ester hydrochloride was N-protected with 2,4,6-triisopropylphenylsulfonyl chloride, deesterified, condensed with 1-ethoxycarbonyl-piperazine, and the cyano group converted to the amidine (via conversion to thioamide and reaction with MeI to give thioimide Me ester, which was then reacted with ammonium acetate), to give I [R = 4-ethoxycarbonyl-piperazine; R1 = 2,4,6-triisopropylphenyl; n = 0 (II)]. In in vivo tests of urokinase inhibition, II had Ki 0.49 μmol/l.

SUPPL. TERM: amidinophenylalanine peptide prepn urokinase inhibitor tumor

INDEX TERM: Enzyme kinetics
(of inhibition; preparation of amidinophenylalanine peptides for use as urokinase inhibitors)

INDEX TERM: Neoplasm
(preparation of amidinophenylalanine peptides for use as urokinase inhibitors)

INDEX TERM: Peptides, preparation
ROLE: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylalanine peptides for use as urokinase inhibitors)

INDEX TERM: 161357-71-7P 169388-44-7P 220355-61-3P 220355-63-5P
220355-64-6P 222842-26-4P 255374-84-6P 255374-89-1P
255374-90-4P 256430-86-1P 256430-96-3P 261158-90-1P
261158-91-2P 261158-92-3P 261158-93-4P 261158-94-5P
261158-95-6P 261158-96-7P
ROLE: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylalanine peptides for use as urokinase inhibitors)

INDEX TERM: 120-43-4 5006-62-2 6553-96-4 161357-88-6 177740-32-8
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amidinophenylalanine peptides for use as urokinase inhibitors)

INDEX TERM: 255374-79-9P 255374-80-2P 255374-81-3P 255374-82-4P
255374-83-5P 255374-85-7P 255374-86-8P 255374-87-9P

255374-88-0P 255375-04-3P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidinophenylalanine peptides for use as urokinase inhibitors)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD.

REFERENCE(S):
(1) Markwardt, F; THROMBOSIS RESEARCH 1980, V17(3), P425
(2) Markwardt, F; THROMBOSIS RESEARCH 1980, V17(3), P425
(3) StUrzebecher, J; BIOLOGICAL CHEMISTRY HOPPE-SEYLER 1997, V373(10), P1025
(4) StUrzebecher, J; BIOLOGICAL CHEMISTRY HOPPE-SEYLER 1997, V373(10), P1025
(5) StUrzebecher, J; JOURNAL OF MEDICINAL CHEMISTRY 1997, V19(40), P3091
(6) StUrzebecher, J; JOURNAL OF MEDICINAL CHEMISTRY 1997, V19(40), P3091
(7) StUrzenbecher, J; DIE PHARMAZIE 1981, V36(7), P501
(8) StUrzenbecher, J; DIE PHARMAZIE 1981, V36(7), P501
(9) Wagner, G; DIE PHARMAZIE 1981, V36(7), P467 CAPLUS
(10) Wagner, G; DIE PHARMAZIE 1981, V36(7), P467 CAPLUS

=> s wo 200004954/pn
L4 2 WO 200004954/PN
(WO2000004954/PN)

=> d l4 iall 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:569861 CAPLUS
DOCUMENT NUMBER: 141:99681
ENTRY DATE: Entered STN: 16 Jul 2004
TITLE: 3-Amidinophenylalanine derivatives as urokinase inhibitors for treatment of cancer
INVENTOR(S): Wilhelm, Olaf; Magdolen, Viktor; Sturzebecker, Jorg; Foekens, John; Lutz, Verena
PATENT ASSIGNEE(S): Wilex A.-G., Germany
SOURCE: U.S. Pat. Appl. Publ., 36 pp., Cont.-in-part of U.S. Ser. No. 202,850.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
INT. PATENT CLASSIF.:
MAIN: A61K031-495
SECONDARY: A61K031-704; A61K031-337
US PATENT CLASSIF.: 514255010; 514034000; 514050000; 514449000; 514414000; 424649000
CLASSIFICATION: 1-6 (Pharmacology)
Section cross-reference(s): 34
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004138233	A1	20040715	US 2003-691528	20031024
WO 2000004954	A2	20000203	WO 1999-EP5145	19990720 <--
WO 2000004954	A3	20000622		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,

ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1264828	A1	20021211	EP 2002-16038	19990720
EP 1264828	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
US 6624169	B1	20030923	US 2001-743800	20010403
US 2003013723	A1	20030116	US 2002-202850	20020726
US 6680320	B2	20040120		

PRIORITY APPLN. INFO.:

EP 1998-113519	A 19980720
WO 1999-EP5145	W 19990720
US 2001-743800	A3 20010403
US 2002-202850	A2 20020726
EP 1999-936570	A3 19990720

PATENT CLASSIFICATION CODES:

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004138233	ICM	A61K031-495
	ICS	A61K031-704; A61K031-337
	INCL	514255010; 514034000; 514050000; 514449000; 514414000; 424649000
	IPCI	A61K0031-495 [ICM,7]; A61K0031-704 [ICS,7]; A61K0031-7028 [ICS,7,C*]; A61K0031-337 [ICS,7]
	IPCR	A61K0031-495 [I,A]; A61K0031-495 [I,C*]; A61K0051-02 [I,C*]; A61K0051-04 [I,A]; C07D0295-00 [I,C*]; C07D0295-205 [I,A]
	NCL	514/255.010; 424/649.000; 514/034.000; 514/050.000; 514/414.000; 514/449.000
	ECLA	A61K031/495+A; A61K051/04; C07D295/20B1
WO 2000004954	IPCI	A61R0031-495 [ICM,6]; A61R0031-445 [ICS,6]; A61R0031-195 [ICS,6]; C07D0295-182 [ICS,6]; C07D0295-00 [ICS,6,C*]; A61R0047-48 [ICS,6]
	IPCR	A61K0031-495 [I,A]; A61K0031-495 [I,C*]; A61K0051-02 [I,C*]; A61K0051-04 [I,A]; C07D0295-00 [I,C*]; C07D0295-205 [I,A]
	ECLA	A61K031/495+A; A61K051/04; C07D295/20B1; A61K051/04G
EP 1264828	IPCI	C07D0295-18 [ICM,6]; C07D0295-00 [ICM,6,C*]; A61K0031-495 [ICS,6]; A61P0035-00 [ICS,6]
	ECLA	C07D295/20B1
US 6624169	IPCI	A61K0031-495 [ICM,7]; A61K0031-445 [ICS,7]; A61K0031-195 [ICS,7]; A61K0031-185 [ICS,7,C*]; A61K0047-48 [ICS,7]
	IPCR	A61K0031-495 [I,A]; A61K0031-495 [I,C*]; A61K0051-02 [I,C*]; A61K0051-04 [I,A]; C07D0295-00 [I,C*]; C07D0295-205 [I,A]
	NCL	514/255.010; 514/330.000; 544/388.000; 546/226.000
	ECLA	A61K031/495+A; A61K051/04; A61K051/04G; C07D295/20B1
US 2003013723	IPCI	A61K0031-495 [ICM,7]; C07D0241-04 [ICS,7]; C07D0241-00 [ICS,7,C*]
	IPCR	A61K0031-495 [I,A]; A61K0031-495 [I,C*]; A61K0051-02 [I,C*]; A61K0051-04 [I,A]; C07D0295-00 [I,C*]; C07D0295-205 [I,A]
	NCL	514/255.010; 544/386.000
	ECLA	A61K031/495+A; A61K051/04; C07D295/20B1

OTHER SOURCE(S): MARPAT 141:99681

ABSTRACT:
The invention discloses the use of 3-amidinophenylalanine derivs. as urokinase inhibitors for treating malignant tumors and the formation of metastases. Preparation of Nα-2,4,6-triisopropylphenylsulfonyl- DL-3-cyanophenylalanylnipecotic acid benzylamide is described.

SUPPL. TERM: urokinase inhibitor prepn amidinophenylalanine deriv cancer metastasis

INDEX TERM: Drug interactions

(additive; preparation of 3-amidinophenylalanine derivs. as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: Lymph node
(axillary, i.p.; preparation of 3-amidinophenylalanine derivs. as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: Mammary gland, neoplasm
(carcinoma; preparation of 3-amidinophenylalanine derivs. as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: Drug delivery systems
(carriers; preparation of 3-amidinophenylalanine derivs. as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: Carcinoma
(mammary; preparation of 3-amidinophenylalanine derivs. as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: Fibrins
ROLE: BSU (Biological study, unclassified); BIOL (Biological study)
(matrix degradation by breast carcinoma cells; preparation of 3-amidinophenylalanine derivs. as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: Neoplasm
(metastasis; preparation of 3-amidinophenylalanine derivs. as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: Antitumor agents
Cytotoxic agents
Drug bioavailability
Drug delivery systems
Human
Lung, neoplasm
Lymph node
Lymphatic system
Mammary gland, neoplasm
Neoplasm
Pancreas, neoplasm
Radiotherapy
Surgery
(preparation of 3-amidinophenylalanine derivs. as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: Isotopomers
Taxanes
ROLE: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of 3-amidinophenylalanine derivs. as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: 220355-63-5P
ROLE: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(3-amidinophenylalanine derivs. preparation as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: 255374-84-6P 255374-90-4P
ROLE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(3-amidinophenylalanine derivs. preparation as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: 51-21-8 15663-27-1, Cisplatin 23214-92-8, Doxorubicin

33069-62-4, Paclitaxel 41575-94-4, Carboplatin
56420-45-2, Epirubicin
ROLE: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(3-amidinophenylalanine derivs. preparation as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: 74-88-4, Methyl iodide, reactions 120-43-4, 1-Ethoxycarbonylpiperazine 5006-62-2, Ethyl nipecotate 6553-96-4 255374-78-8
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(3-amidinophenylalanine derivs. preparation as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: 255374-79-9P 255374-80-2P 255374-81-3P 255374-85-7P 255374-86-8P 255375-04-3P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(3-amidinophenylalanine derivs. preparation as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: 255374-89-1P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(3-amidinophenylalanine derivs. preparation as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: 9039-53-6, Urokinase
ROLE: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitor; 3-amidinophenylalanine derivs. preparation as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: 220355-63-5D, mixture with taxanes 255374-90-4D, mixture with taxanes 721396-20-9 721396-21-0 721396-22-1 721396-23-2 721396-24-3 721396-25-4 721396-26-5 721396-27-6 721396-28-7 721396-29-8 721396-30-1 721396-31-2
ROLE: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of 3-amidinophenylalanine derivs. as urokinase inhibitors for treatment of cancer and metastases)

INDEX TERM: 255374-87-9P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-amidinophenylalanine derivs. as urokinase inhibitors for treatment of cancer and metastases)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
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PATENT ASSIGNEE(S): Wilex Biotechnology Gmbh, Germany
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Section cross-reference(s): 1, 63
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WO 2000004954	A3	20000622		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338073	AA	20000203	CA 1999-2338073	19990720
AU 9951615	A1	20000214	AU 1999-51615	19990720
AU 754958	B2	20021128		
BR 9912327	A	20010502	BR 1999-12327	19990720
EP 1098651	A2	20010516	EP 1999-936570	19990720
EP 1098651	B1	20030108		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002521348	T2	20020716	JP 2000-560945	19990720
EP 1264828	A1	20021211	EP 2002-16038	19990720
EP 1264828	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AT 230599	E	20030115	AT 1999-936570	19990720
ES 2189455	T3	20030701	ES 1999-936570	19990720
AT 264103	E	20040415	AT 2002-16038	19990720
PT 1264828	T	20040831	PT 2002-16038	19990720
ES 2219607	T3	20041201	ES 2002-16038	19990720
US 6624169	B1	20030923	US 2001-743800	20010403
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PRIORITY APPLN. INFO.:			EP 1998-113519 A	19980720
			EP 1999-936570 A3	19990720
			WO 1999-EP5145 W	19990720
			US 2001-743800 A3	20010403
			US 2002-202850 A2	20020726

PATENT CLASSIFICATION CODES:

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2000004954	ICM	A61R031-495
	ICS	A61R031-445; A61R031-195; C07D295-182; A61R047-48
	IPCI	A61R0031-495 [ICM,6]; A61R0031-445 [ICS,6]; A61R0031-195 [ICS,6]; C07D0295-182 [ICS,6]; C07D0295-00 [ICS,6,C*]; A61R0047-48 [ICS,6]
	IPCR	A61K0031-495 [I,A]; A61K0031-495 [I,C*]; A61K0051-02 [I,C*]; A61K0051-04 [I,A]; C07D0295-00 [I,C*]; C07D0295-205 [I,A]
	ECLA	A61K031/495+A; A61K051/04; C07D295/20B1; A61K051/04G
CA 2338073	IPCI	A61K0031-495 [ICM,6]; C07D0295-182 [ICS,6]; C07D0295-00 [ICS,6,C*]; A61K0031-195 [ICS,6]; A61K0031-185 [ICS,6,C*]; A61K0031-445 [ICS,6]; A61K0047-48 [ICS,6]
	IPCR	A61K0031-495 [I,A]; A61K0031-495 [I,C*]; A61K0051-02 [I,C*]; A61K0051-04 [I,A]; C07D0295-00 [I,C*]; C07D0295-205 [I,A]
	ECLA	A61K031/495+A; A61K051/04; A61K051/04G; C07D295/20B1
AU 9951615	IPCI	C07D0295-182 [ICM,6]; C07D0295-00 [ICM,6,C*]
	IPCR	A61K0031-495 [I,A]; A61K0031-495 [I,C*]; A61K0051-02 [I,C*]; A61K0051-04 [I,A]; C07D0295-00 [I,C*]; C07D0295-205 [I,A]
	ECLA	A61K031/495+A; A61K051/04; A61K051/04G; C07D295/20B1
BR 9912327	IPCI	A61K0031-495 [ICM,7]; A61K0031-445 [ICS,7];

		A61K0031-195 [ICS,7]; A61K0031-185 [ICS,7,C*]; C07D0295-182 [ICS,7]; C07D0295-00 [ICS,7,C*]; A61K0047-48 [ICS,7]
	IPCR	A61K0031-495 [I,A]; A61K0031-495 [I,C*]; A61K0051-02 [I,C*]; A61K0051-04 [I,A]; C07D0295-00 [I,C*]; C07D0295-205 [I,A]
	ECLA	A61K031/495+A; A61K051/04; A61K051/04G; C07D295/20B1
EP 1098651	IPCI	A61K0031-495 [ICM,6]
	IPCR	C07D0295-00 [I,C*]; C07D0295-205 [I,A]
JP 2002521348	IPCI	A61K0031-445 [ICM,7]; A61K0009-02 [ICS,7]; A61K0009-06 [ICS,7]; A61K0009-08 [ICS,7]; A61K0009-14 [ICS,7]; A61K0009-20 [ICS,7]; A61K0009-48 [ICS,7]; A61K0031-495 [ICS,7]; A61P0017-00 [ICS,7]; A61P0035-00 [ICS,7]; A61P0043-00 [ICS,7]; C07D0211-60 [ICS,7]; C07D0211-00 [ICS,7,C*]; C07D0295-20 [ICS,7]; C07D0295-00 [ICS,7,C*]; C07M0007-00 [ICS,7]
	IPCR	A61K0031-495 [I,A]; A61K0031-495 [I,C*]; A61K0051-02 [I,C*]; A61K0051-04 [I,A]; C07D0295-00 [I,C*]; C07D0295-205 [I,A]
EP 1264828	IPCI	C07D0295-18 [ICM,6]; C07D0295-00 [ICM,6,C*]; A61K0031-495 [ICS,6]; A61P0035-00 [ICS,6]
	ECLA	C07D295/20B1
AT 230599	IPCI	A61K0031-495 [ICM,7]; A61P0035-00 [ICS,7]
	IPCR	A61K0031-495 [I,A]; A61K0031-495 [I,C*]; A61K0051-02 [I,C*]; A61K0051-04 [I,A]; C07D0295-00 [I,C*]; C07D0295-205 [I,A]
	ECLA	A61K031/495+A; A61K051/04; A61K051/04G; C07D295/20B1
ES 2189455	IPCI	A61K0031-495 [ICM,4]; A61P0035-00 [ICS,4]
	IPCR	A61K0031-495 [I,A]; A61K0031-495 [I,C*]; A61K0051-02 [I,C*]; A61K0051-04 [I,A]; C07D0295-00 [I,C*]; C07D0295-205 [I,A]
	ECLA	A61K031/495+A; A61K051/04; A61K051/04G; C07D295/20B1
AT 264103	IPCI	A61K0031-495 [ICM,7]; A61P0035-00 [ICS,7]
	ECLA	A61K031/495+A; A61K051/04; A61K051/04G; C07D295/20B1
PT 1264828	IPCI	A61K0031-495 [ICM,7]; A61P0035-00 [ICS,7]
	IPCR	A61K0031-495 [I,A]; A61K0031-495 [I,C*]; A61K0051-02 [I,C*]; A61K0051-04 [I,A]; C07D0295-00 [I,C*]; C07D0295-205 [I,A]
	ECLA	A61K031/495+A; A61K051/04; A61K051/04G; C07D295/20B1
ES 2219607	IPCI	A61K0031-495 [ICM,7]; A61P0035-00 [ICS,4]
	ECLA	A61K031/495+A; A61K051/04; A61K051/04G; C07D295/20B1
US 6624169	IPCI	A61K0031-495 [ICM,7]; A61K0031-445 [ICS,7]; A61K0031-195 [ICS,7]; A61K0031-185 [ICS,7,C*]; A61K0047-48 [ICS,7]
	IPCR	A61K0031-495 [I,A]; A61K0031-495 [I,C*]; A61K0051-02 [I,C*]; A61K0051-04 [I,A]; C07D0295-00 [I,C*]; C07D0295-205 [I,A]
	NCL	514/255.010; 514/330.000; 544/388.000; 546/226.000
	ECLA	A61K031/495+A; A61K051/04; A61K051/04G; C07D295/20B1
US 2003013723	IPCI	A61K0031-495 [ICM,7]; C07D0241-04 [ICS,7]; C07D0241-00 [ICS,7,C*]
	IPCR	A61K0031-495 [I,A]; A61K0031-495 [I,C*]; A61K0051-02 [I,C*]; A61K0051-04 [I,A]; C07D0295-00 [I,C*]; C07D0295-205 [I,A]
	NCL	514/255.010; 544/386.000
	ECLA	A61K031/495+A; A61K051/04; C07D295/20B1
US 2004138233	IPCI	A61K0031-495 [ICM,7]; A61K0031-704 [ICS,7]; A61K0031-7028 [ICS,7,C*]; A61K0031-337 [ICS,7]
	IPCR	A61K0031-495 [I,A]; A61K0031-495 [I,C*]; A61K0051-02 [I,C*]; A61K0051-04 [I,A]; C07D0295-00 [I,C*]; C07D0295-205 [I,A]
	NCL	514/255.010; 424/649.000; 514/034.000; 514/050.000; 514/414.000; 514/449.000
	ECLA	A61K031/495+A; A61K051/04; C07D295/20B1

OTHER SOURCE(S): MARPAT 132:108297

ABSTRACT:
The invention relates to the use of derivs. of 3-amidino-phenyl-alanine [H2NC(:NH)-3-C6H4-CH2CH(NH(COCH(R2)NH)nSO2R1)COR; R = OH, (substituted) ester, (substituted) amine, (substituted) heterocycle; R1 = substituted phenyl; R2 = H, (un)branched alkyl; n=0,1 (I)] as urokinase inhibitors for treating malignant tumors and the formation of metastases thereof. Thus, beginning with (L)-3-cyanophenylalanine Me ester and 2,4,6-tri(isopropyl)benzenesulfonyl chloride, (S)-I [n=0; R = 4-ethoxycarbonyl-piperazinyl; R1 = 2,4,6-tri(isopropyl)-C6H2; (II)] was synthesized in four steps. In in vitro inhibition tests of urokinase, II had Ki 0.41 μM/l; the compound prepared from (DL)-phenylalanine starting material had Ki 0.96 μM/l.

SUPPL. TERM: amidinophenylalanine deriv urokinase inhibitor prepn cancer tumor metastasis treatment

INDEX TERM: Neoplasm
(metastasis; preparation and use of amidinophenylalanine derivs. as urokinase inhibitors in the treatment of malignant tumors to limit metastasis)

INDEX TERM: Mammary gland
(neoplasm; preparation and use of amidinophenylalanine derivs. as urokinase inhibitors in the treatment of malignant tumors)

INDEX TERM: Skin, disease
(pemphigus vulgaris; preparation and use of amidinophenylalanine derivs. as urokinase inhibitors in the treatment of malignant tumors)

INDEX TERM: Enzyme kinetics
Neoplasm
Pancreas, neoplasm
(preparation and use of amidinophenylalanine derivs. as urokinase inhibitors in the treatment of malignant tumors)

INDEX TERM: Amino acids, preparation
ROLE: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and use of amidinophenylalanine derivs. as urokinase inhibitors in the treatment of malignant tumors)

INDEX TERM: 9039-53-6
ROLE: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibition of by amidinophenylalanine derivs. for use in the treatment of malignant tumors)

INDEX TERM: 255374-84-6P
ROLE: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and reaction of in the synthesis of amidinophenylalanine derivs. for use as urokinase inhibitors)

INDEX TERM: 255374-79-9P 255374-80-2P 255374-81-3P 255374-82-4P
255374-83-5P 255374-85-7P 255374-86-8P 255374-87-9P
255374-88-0P 255375-04-3P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of in the synthesis of amidinophenylalanine derivs. for use as urokinase inhibitors)

INDEX TERM: 255374-89-1P
ROLE: BAC (Biological activity or effector, except adverse);

BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of for use as urokinase inhibitors)

INDEX TERM: 220355-63-5 255374-90-4
ROLE: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of for use as urokinase inhibitors)

INDEX TERM: 120-43-4 5006-62-2, Nipecotic acid, ethyl ester
6553-96-4 161357-88-6 255374-78-8
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(reaction of in the synthesis of amidinophenylalanine derivs. for use as urokinase inhibitors)